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APPLICATION NO.	FILING DATE	FIRST NAMED INVENTOR	ATTORNEY DOCKET NO.	CONFIRMATION NO.
09/914,478	08/24/2001	Stefan Scherer	1999 DE 304	8080

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CLARIANT CORPORATION
INTELLECTUAL PROPERTY DEPARTMENT
4000 MONROE ROAD
CHARLOTTE, NC 28205

EXAMINER

ZUCKER, PAUL A

ART UNIT	PAPER NUMBER
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1621

12

DATE MAILED: 04/15/2003

Please find below and/or attached an Office communication concerning this application or proceeding.

Office Action Summary

Application No.

09/914,478

Applicant(s)

SCHERER ET AL.

Examiner

Paul A. Zucker

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-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --

Period for Reply

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTH(S) FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If the period for reply specified above is less than thirty (30) days, a reply within the statutory minimum of thirty (30) days will be considered timely.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133).
- Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

Status

- 1) ☒ Responsive to communication(s) filed on 29 January 2003.
- 2a) ☐ This action is **FINAL**. 2b) ☒ This action is non-final.
- 3) ☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

Disposition of Claims

- 4) ☒ Claim(s) 1-10 and 12 is/are pending in the application.
- 4a) Of the above claim(s) _____ is/are withdrawn from consideration.
- 5) ☐ Claim(s) _____ is/are allowed.
- 6) ☒ Claim(s) 1-10 and 12 is/are rejected.
- 7) ☐ Claim(s) _____ is/are objected to.
- 8) ☐ Claim(s) _____ are subject to restriction and/or election requirement.

Application Papers

- 9) ☒ The specification is objected to by the Examiner.
- 10) ☐ The drawing(s) filed on _____ is/are: a) ☐ accepted or b) ☐ objected to by the Examiner.
- Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).
- 11) ☐ The proposed drawing correction filed on _____ is: a) ☐ approved b) ☐ disapproved by the Examiner.
- If approved, corrected drawings are required in reply to this Office action.
- 12) ☐ The oath or declaration is objected to by the Examiner.

Priority under 35 U.S.C. §§ 119 and 120

- 13) ☒ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
- a) ☒ All b) ☐ Some * c) ☐ None of:
1. ☐ Certified copies of the priority documents have been received.
 2. ☐ Certified copies of the priority documents have been received in Application No. _____.
 3. ☒ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).
- * See the attached detailed Office action for a list of the certified copies not received.
- 14) ☐ Acknowledgment is made of a claim for domestic priority under 35 U.S.C. § 119(e) (to a provisional application).
- a) ☐ The translation of the foreign language provisional application has been received.
- 15) ☐ Acknowledgment is made of a claim for domestic priority under 35 U.S.C. §§ 120 and/or 121.

Attachment(s)

- 1) ☒ Notice of References Cited (PTO-892) 4) ☐ Interview Summary (PTO-413) Paper No(s). _____
- 2) ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948) 5) ☐ Notice of Informal Patent Application (PTO-152)
- 3) ☐ Information Disclosure Statement(s) (PTO-1449) Paper No(s) _____ 6) ☐ Other: _____

DETAILED ACTION

Continued Examination Under 37 CFR 1.114

1. A request for continued examination under 37 CFR 1.114, including the fee set forth in 37 CFR 1.17(e), was filed in this application after final rejection. Since this application is eligible for continued examination under 37 CFR 1.114, and the fee set forth in 37 CFR 1.17(e) has been timely paid, the finality of the previous Office action has been withdrawn pursuant to 37 CFR 1.114. Applicant's submission filed on 29 January 2003 has been entered.

Current Status

2. This action is responsive to Applicants' Request for Reconsideration of 29 January 2003 in Paper No 11.
3. Receipt and entry of Applicants' response is acknowledged.
4. Claims 1-10 and 12 remain outstanding.
5. The objection to the abstract set forth in paragraph 9 of the previous Office Action in Paper No 8 is MAINTAINED since Applicants' have not amended the abstract.
6. The rejection under 35 USC § 112, second paragraph, set forth in paragraph 10 of the previous Office Action in Paper No 8 is MAINTAINED since Applicants' have not amended the relevant claims.

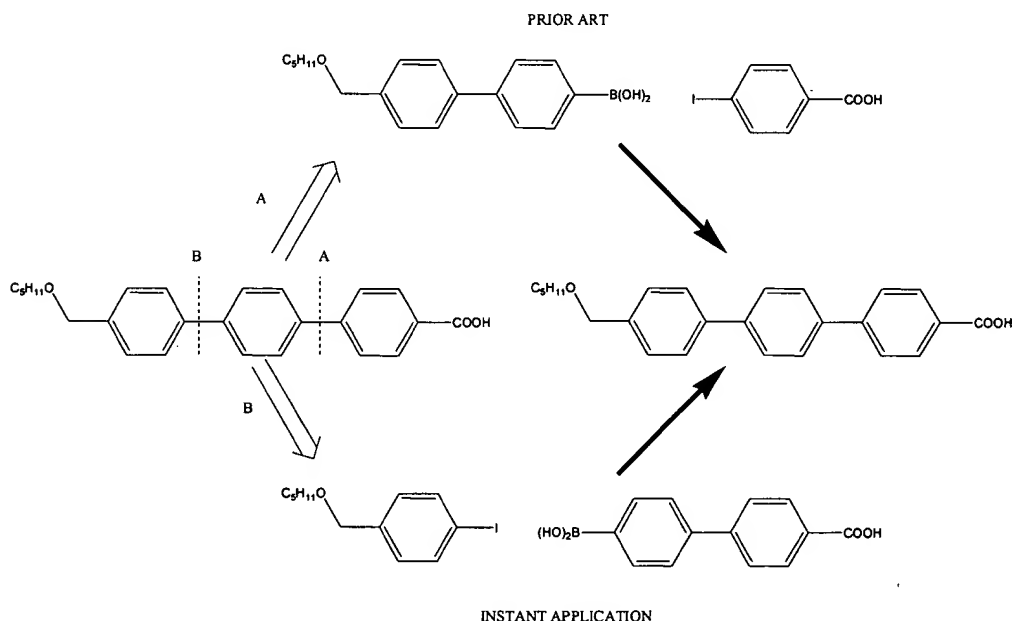
The text of those sections of Title 35, U.S. Code not included in this action can be found in a prior Office action.

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7. Claims 1-10 and 12 are rejected under 35 U.S.C. 103(a) as being unpatentable over Balkovec et al (US 5,948,753 09-1999) and further in view of Miyaura et al (Chemical Reviews 1995, 95, pages 2457-2483) and further in view of Henle et al (US 5,693,611 12-1997).

Instantly claimed is a method for the production of [1,1':4',1'']-terphenyl compounds via the coupling of a biphenyl carboxylic acid derivative bearing a leaving group and a mono-aryl boronate in the presence of a transition metal catalyst.

Balkovic teaches (Column 19, line 1 - column 20, line 14) a method for the synthesis of 4''-(n-pentyloxy)-[1,1':4',4'']-terphenyl-4-carboxylic acid via the Suzuki coupling reaction according to the figure below.



Balkovic teaches (Column 19, lines 3-25) a process that is defined by retrosynthetic path A above and in the instant case the pathway corresponding to retrosynthetic

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path B is selected. The chemistry disclosed by Balkovic is the same as that used in the instant case: the palladium-catalyzed Suzuki reaction. Whichever retrosynthetic pathway is followed the same result would be expected and thus the instant process is simply an example of an analogous process which produces the expected result.

Balkovic further teaches (Column 19, line 63-column 20, line 14) the reaction of 4-iodobenzoic acid with 4-(4-n-pentyloxyphenyl) boronic acid in ethanol/toluene in the presence of aqueous sodium carbonate and a palladium catalyst at 180 °C. Balkovic further teaches (Column 19, lines 44-61) the synthesis of the boronic acid via the reaction of the lithiated biphenyl with triisopropylborate followed by hydrolysis.

Balkovic is silent with regard to the use of borate esters in the coupling reaction although the examiner notes that at 180°C in refluxing toluene in the presence of ethanol (typical esterification conditions) it seems likely that the diethyl borate ester would form under Balkovic's conditions.

The difference between the instantly claimed process and that of Balkovic is that the instant process makes use of organomagnesium compounds to make the borate esters while Balkovic does not.

Miyaura, however, teaches (Page 2458, right column, lines 1-15 and page 2470, equation (76) at bottom left) the use of borate esters (cyclic and acyclic) formed from the corresponding grignard reagents as reactants in the palladium-catalyzed cross coupling reaction to give biaryls. Thus it would have been obvious for one of ordinary

skill in the art to have performed the instant invention at the time applicant asserts it was made.

Thus the instantly claimed process would have been obvious to one of ordinary skill in the art. The motivation would have to been to modify the process of Balkovic for the synthesis of an important intermediate required for the synthesis of an antifungal compound (Henle, Column 1, line 1 – column 2 lines 65) using the readily available boronic acid also disclosed by Henle (Column 14, lines 30-49). The expectation for success would have been high based upon its reliance on the proven chemistry developed for the analogous prior art process.

Examiner's Response to Applicant's Arguments with Regard to This Rejection

8. Applicants have put forth several arguments with regard to this rejection. The Examiner responds to these below:

- a. Applicants argue (Response, page 10, 3rd paragraph) that, based upon the showing contained in the specification, one would not have had a reasonable expectation of succeeding at what Applicants have done. Applicants argue that they have unsuccessfully attempted to reproduce the process of Balkovic. The Examiner disagrees that Applicants have made a satisfactory showing over Balkovic. In particular, the Examiner points to two differences between Applicants' comparative Example and Balkovic:

- i. The scale of Applicants' experiment reproducing the process of Balkovic is 25 times that of Balkovic. Conducting a process on a larger scale may introduce engineering problems such as difficulties in mass and heat transfer. This introduces a problem with regard to the scope of the showing since Applicant's claims are not limited to a scale larger than that taught by Balkovic.
- ii. The second difference, however, is of a nature that renders Applicants' showing unpersuasive. Applicants' Comparative Example 2 (Specification, page 19, lines 13-25) employs 21.8 grams of 4-iodobenzoic acid. Based upon the Balkovic's teaching (Column 19, line 63- column 20, line 10) of the use of 0.0874 mL of 4-iodobenzoic acid, Applicants' showing should employ $25 \times 0.0874 = 2.185$ mL of 4-iodobenzoic acid. Converting units to grams using the density (Handbook of Chemistry and Physics, 70th edition, 1989, CRC Press, Florida, page C-133, 3rd entry) of 4-iodobenzoic acid of 2.184 g/mL, the amount of 4-iodobenzoic acid should be: $2.185 \text{ mL} \times 2.184 \text{ g/mL} = 4.772 \text{ g}$ 4-iodobenzoic acid. Applicants' have therefore employed $(21.8 \text{ g} / 4.772 \text{ g} = 4.57)$ a 4.6-fold excess of 4-iodobenzoic acid over that employed by Balkovic. Applicants' showing does not, therefore, provide the side-by-side comparison required to overcome Balkovic.

- b. Applicants further argue that the claimed process is a new process since the nucleophile and electrophile of Balkovec have been swapped. The Examiner agrees that it is new process. The claimed process is, however, obvious over the process of Balkovec. One of ordinary skill in the art would readily understand that the retrosynthetic disconnection of either the instant process or that of Balkovec could be made and the same chemistry applied in the forward direction. Thus the application of the chemistry taught by Balkovec would have been obvious and would have had reasonable expectation of success.

Applicant's arguments filed 29 January 2003 have been fully considered but they are not persuasive for the reasons indicated above.

Conclusion

9. Claims 1-10 and 12 are pending. Claims 1-10 and 12 are rejected.

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Paul A. Zucker whose telephone number is 703-306-0512. The examiner can normally be reached on Monday-Friday 7:00-3:30.

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Johann R. Richter can be reached on 703-308-4532. The fax phone numbers for the organization where this application or proceeding is assigned are


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703-308-4556 for regular communications and 703-308-4556 for After Final communications.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is 703-308-1235.

Paul A. Zucker
Patent Examiner
Technology Center 1600

March 25, 2003



Johann Richter, Ph.D., Esq.
Supervisory Patent Examiner
Technology Center 1600